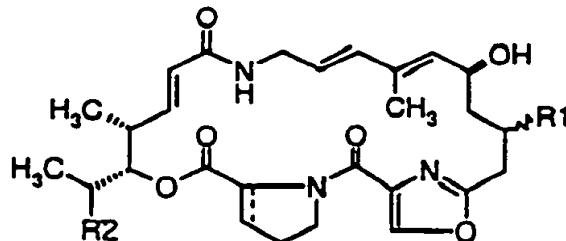


CLAIMS

1. Derivative of group A streptogramins, characterized in that it corresponds to the general formula:



5

in which

R₁ is a radical -NR'R'' for which R' is a hydrogen atom or a methyl radical, and R'' is a hydrogen atom or an alkyl, cycloalkyl, allyl, propynyl, benzyl or -OR''' radical, R''' being a hydrogen atom or an alkyl, cycloalkyl, allyl, propynyl or benzyl radical, or R'' represents -NR₃R₄, it being possible for R₃ and R₄ to represent a methyl radical, or to form together with the nitrogen atom to which they are attached a saturated or unsaturated 4- or 5-membered heterocycle which may, in addition, contain another heteroatom chosen from nitrogen, oxygen or sulphur,

20 R₂ is a hydrogen atom or a methyl or ethyl radical, and the bond --- represents a single bond or a double bond,

and in which unless otherwise stated, the alkyl radicals are straight or branched and contain 1 to 6 carbon atoms; the cycloalkyl radicals contain 3 to 4 carbon atoms; the chain ~~—~~ at the 16-position means:

5 when R'' is other than -OR''' or -NR₃R₄, the R epimer or mixtures of the R and S epimers in which the R epimer is predominant, and when R'' is -OR''' or -NR₃R₄, the R and S epimers and mixtures thereof, as well as its salts.

10 2. Derivative of group A streptogramins according to claim 1, characterized in that R₁ is a radical -NR'R'' for which R' is a hydrogen atom or a methyl radical, and R'' is a hydrogen atom, an alkyl, cycloalkyl, allyl, propynyl, benzyl or -OR''' radical,

15 R''' being an alkyl radical containing 1 to 6 carbon atoms, an allyl or propynyl radical, or R'' represents -NR₃R₄, it being possible for R₃ and R₄ to represent a methyl radical, or to form together with the nitrogen atom to which they are attached a saturated or

20 unsaturated 4- or 5-membered heterocycle which may, in addition, contain another heteroatom chosen from nitrogen, oxygen or sulphur, R₂ is a hydrogen atom or a methyl or ethyl radical, and the bond — represents a single bond or a double bond, as well as their salts

25 and in which the chain ~~—~~ at the 16-position means: when R'' is other than -OR''' or -NR₃R₄, the R epimer or mixtures of the R and S epimers in which the R epimer

is predominant, and when R'' is -OR''' or -NR₃R₄, the R and S epimers and mixtures thereof.

3. Derivative of group A streptogramins

according to claim 1 ~~or 2~~, characterized in that R₁ is a radical -NR'R'' for which R' is a hydrogen atom or a methyl radical, and R'' is a hydrogen atom, an alkyl radical containing 1 to 4 carbon atoms, a cycloalkyl, allyl, propynyl, benzyl or -OR''' radical, R''' being an alkyl radical containing 1 to 3 carbon atoms, or an allyl or propynyl radical, or R'' represents -NR₃R₄, it being possible for R₃ and R₄ to form together with the nitrogen atom to which they are attached a 5-membered saturated heterocycle, R₂ is a methyl or ethyl radical, and the bond ~~--~~ represents a single bond or a double bond, as well as their salts and in which the chain ~~at the 16-position means: when R'' is other than -OR''' or -NR₃R₄, the R epimer or mixtures of the R and S epimers in which the R epimer is predominant, and when R'' is -OR''' or -NR₃R₄, the R and S epimers and mixtures thereof~~

4. Derivative of group A streptogramins according to claim 1, characterized in that it is (16R)-16-dimethylamino-16-deoxopristinamycin II_A as well as its salts.

25 5. Derivative of group A streptogramins according to claim 1, characterized in that it is (16R)-16-methoxyamino-16-deoxopristinamycin II_B as well as its salts.

6. Derivative of group A streptogramins according to claim 1, characterized in that it is (16R)-16-ethoxyamino-16-deoxopristinamycin II_B as well as its salts.

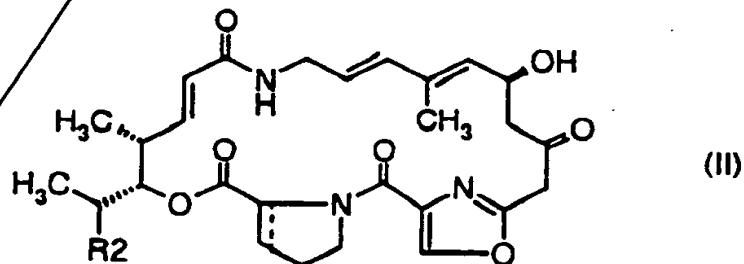
5 7. Derivative of group A streptogramins according to claim 1, characterized in that it is (16R)-16-allyloxyamino-16-deoxopristinamycin II_B as well as its salts.

8. Derivative of group A streptogramins
10 according to claim 1, characterized in that it is (16R)-16-methoxyamino-16-deoxopristinamycin II_A as well as its salts.

9. Process for preparing a streptogramin derivative according to claim 1, characterized in that
15 an amine of general formula:



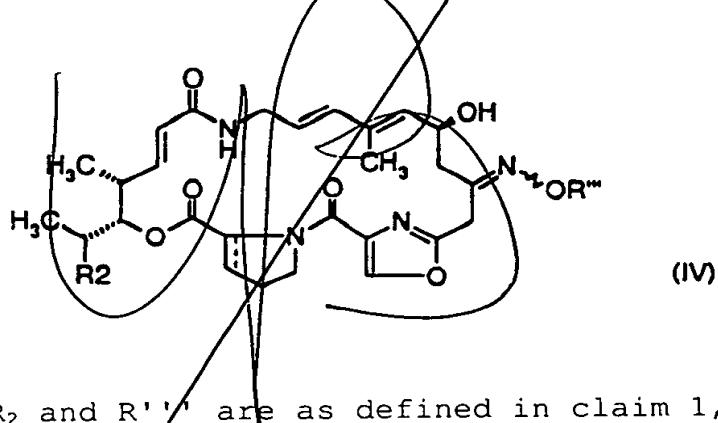
in which R'' is as defined above, is reacted with a component of natural pristinamycin of general formula:



20 in which R₂ is as defined in claim 1, and then an agent for reducing the intermediate enamine (or oxime) obtained is caused to react and, when it is desired to obtain a streptogramin derivative according to claim 1

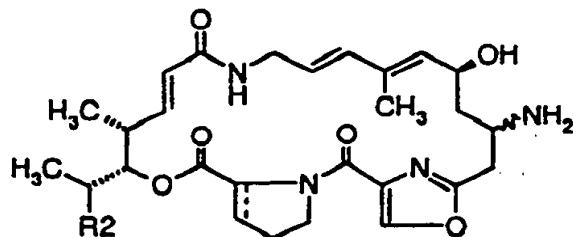
for which R' is a methyl radical, a second reductive amination is carried out by the action of formaldehyde or of a derivative generating formaldehyde in situ, followed by the reduction of the intermediate enamine, 5 the product obtained is optionally converted to a salt, and/or its R epimer is separated.

10. Process according to claim 9, characterized in that to prepare a streptogramin derivative according to claim 1 for which R'' is a 10 radical -OR''', the intermediate oxime of general formula:



in which R₂ and R''' are as defined in claim 1, is 15 isolated, and then converted by reduction to a streptogramin derivative according to claim 1 for which R' is a hydrogen atom, which may be optionally used in the subsequent reductive amination operation.

11. Process for preparing a streptogramin 20 derivative according to claim 1, characterized in that the ketone corresponding to the desired R'' radical is reacted with the amine-containing derivative of general formula:



(M)

in which R_2 is as defined above, and then when it is
 desired to obtain a streptogramin derivative according
 5 to claim 1, for which R' is a methyl radical, a second
 reductive amination is carried out, by the action of
 formaldehyde or of a derivative generating formaldehyde
 in situ and the intermediate enamine is reduced, and
 the product obtained is optionally converted to a salt,
 10 and/or its R epimer is separated.

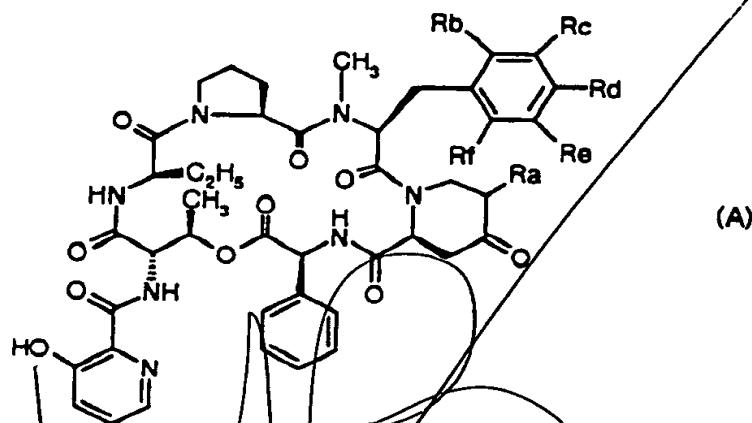
12. Combinations characterized in that they
 comprise a group A streptogramin derivative according
 to claim 1 and a group B streptogramin derivative.

13. Combinations according to claim 12,
 15 characterized in that the group B streptogramin
 derivative is chosen from natural components or
 semisynthetic components.

14. Combinations according to claim 12,
 characterized in that the group B streptogramin
 20 derivative is chosen from pristinamycin I_A ,
 pristinamycin I_B , pristinamycin I_C , pristinamycin I_D ,
 pristinamycin I_E , pristinamycin I_F , pristinamycin I_G ,
 virginiamycin S_1 , S_3 or S_4 , vernamycin B or C or
 etamycin.

15. Combinations according to claim 12, characterized in that the group B streptogramin derivative is chosen from the streptogramin derivatives of general formula:

5



in which,

1. Rb, Rc, Re and Rf are hydrogen atoms, Rd is a hydrogen atom or a dimethylamino radical, and Ra is a radical of structure $-\text{CH}_2\text{R}'\text{a}$ for which R'a is 3-pyrrolidinylthio or 3- or 4-piperidylthio which may be substituted with alkyl, or alkylthio substituted with 1 or 2 hydroxysulphonyl, alkylamino, dialkylamino (itself optionally substituted with mercapto or dialkylamino), or substituted with 1 or 2 optionally substituted piperazine rings, morpholino, thiomorpholino, piperidino, 1-pyrrolidinyl, 2-, 3- or 4-piperidyl or 2- or 3-pyrrolidinyl (which may be substituted with alkyl), or alternatively Ra is a radical of structure $=\text{CHR}'\text{a}$ for which R'a is

10

15

15. Rb, Rc, Re and Rf are hydrogen atoms, Rd is a hydrogen atom or a dimethylamino radical, and Ra is a radical of structure $-\text{CH}_2\text{R}'\text{a}$ for which R'a is 3-pyrrolidinylthio or 3- or 4-piperidylthio which may be substituted with alkyl, or alkylthio substituted with 1 or 2 hydroxysulphonyl, alkylamino, dialkylamino (itself optionally substituted with mercapto or dialkylamino), or substituted with 1 or 2 optionally substituted piperazine rings, morpholino, thiomorpholino, piperidino, 1-pyrrolidinyl, 2-, 3- or 4-piperidyl or 2- or 3-pyrrolidinyl (which may be substituted with alkyl), or alternatively Ra is a radical of structure $=\text{CHR}'\text{a}$ for which R'a is

20

3-pyrrolidinylamino, 3- or 4-piperidylamino,
3-pyrrolidinyloxy, 3- or 4-piperidyloxy,
3-pyrrolidinylthio, 3- or 4-piperidylthio which
may be substituted with alkyl, or R'a is
5 alkylamino, alkyloxy or alkylthio substituted with
1 or 2 hydroxysulphonyl, alkylamino, dialkylamino
(itself optionally substituted with dialkylamino),
or with trialkylammonio, 4- or 5-imidazolyl, or
with 1 or 2 optionally substituted piperazine
10 rings, morpholino, thiomorpholino, piperidino,
1-pyrrolidinyl, 2-, 3- or 4-piperidyl or 2- or
3-pyrrolidinyl (which may be substituted with
alkyl), or
Ra is a 3- or 4-quinuclidinylthiomethyl radical,
15 or alternatively
2. Ra is a hydrogen atom and
a) either Rb, Re and Rf are hydrogen atoms, Rd is a
20 radical -NHCH₃ or -N(CH₃)₂ and Rc is a chlorine or
bromine atom, or represents an alkenyl radical
containing 3 to 5 carbon atoms [if Rd is -N(CH₃)₂],
b) or Rb, Rd, Re and Rf represent a hydrogen atom and
25 Rc is a halogen, or an aminomonooalkyl,
aminodialkyl, alkyloxy, trifluoromethoxy,
thioalkyl, C₁ to C₃ alkyl or trihalomethyl radical,
c) or Rb, Rc, Re and Rf represent a hydrogen atom and

Rd is a halogen, or an ethylamino, diethylamino or methylethylamino, alkyloxy or trifluoromethyloxy, thioalkyl, C₁ to C₆ alkyl, aryl or trihalomethyl radical,

5

d) or Rb, Re and Rf represent a hydrogen atom and Rc is halogen or an aminomonooalkyl or aminodialkyl, alkyloxy or trifluoromethyloxy, thioalkyl or C₁ to C₃ alkyl radical, and Rd is halogen or an amino, aminomonooalkyl or aminodialkyl, alkyloxy or trifluoromethyloxy, thioalkyl, C₁ to C₆ alkyl or trihalomethyl radical,

e) or Rc, Re and Rf represent a hydrogen atom and Rb and Rd represent a methyl radical.

16. Pharmaceutical composition, characterized in that it contains at least one streptogramin derivative according to one of claims 1 to 8, optionally in combination with a group B streptogramin derivative, and/or optionally in combination with any compatible and pharmaceutically acceptable diluent or adjuvant.

add B
add ES